6813

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: BERCH Examiner #: 59193 Date: 6/13/02
Art Unit: 1621 Phone Number 30 8-4718 Serial Number: 10/066529
Mail Box and Bldg/Room Location: 4D15 4E12 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: _____

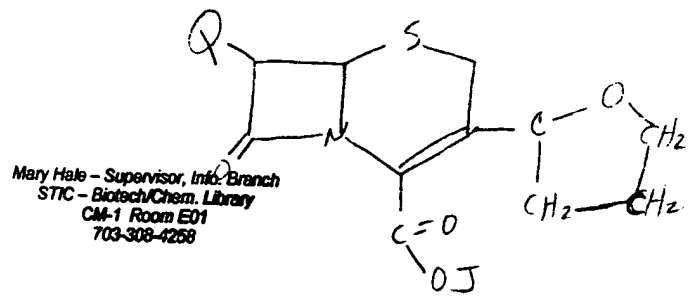
Inventors (please provide full names): _____

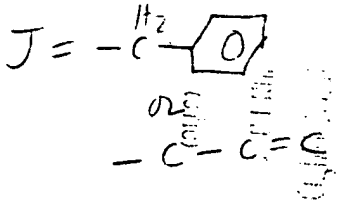
_____

Earliest Priority Filing Date: _____

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

2 Searches





Mary Hale – Supervisor, Info. Branch
STIC – Biotech/Chem. Library
CM-1 Room E01
703-308-4258

MARY

1) Q = NH_2, cmpd must be multi component

2) Q =  n = 1-6, cmpd cannot be multi component

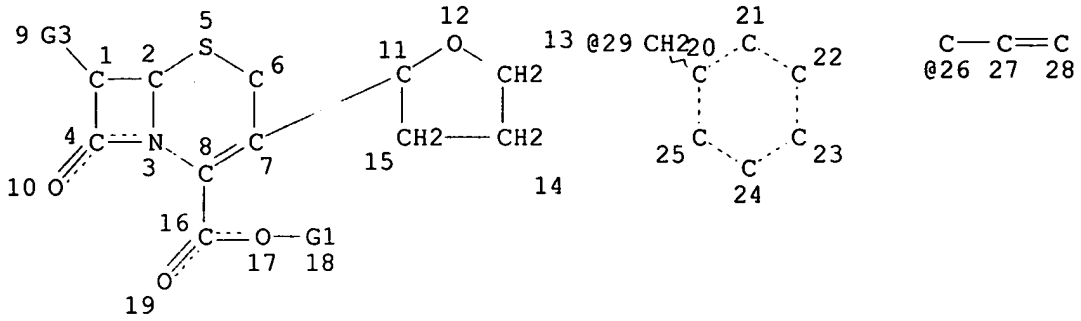
****

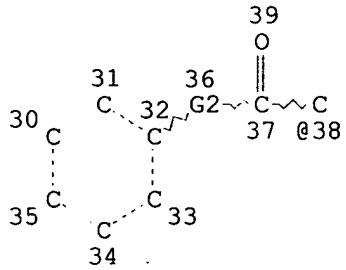
STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: Mary	NA Sequence (#)_____	STN 730.22
Searcher Phone #: 0	AA Sequence (#)_____	Dialog _____
Searcher Location: _____	Structure (#) 2	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr.Link _____
Date Completed: 6/18	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: 27	Other _____	Other (specify) _____

PTO-1590 (8-01)

Reich
10/006579

=> d l8 que stat;d 1-3 ide cbib abs
L6 STR





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REP G2=(1-6) C
VAR G3=NH2/38
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 39

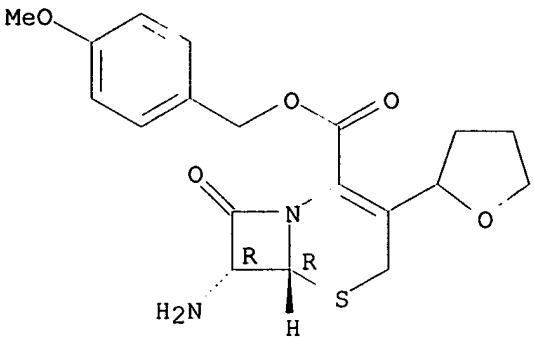
STEREO ATTRIBUTES: NONE
L8 3 SEA FILE=REGISTRY SSS FUL L6

100.0% PROCESSED 85 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.03

L8 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2002 ACS
RN 141194-86-7 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-amino-8-oxo-3-(tetrahydro-2-furanyl)-, (4-methoxyphenyl)methyl ester,
[6R-(6.alpha.,7.beta.)]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H22 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 1E01



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 116:255397 Preparation of 3-tetrahydrofurylcephem-3-carboxylates and analogs as antibiotics. Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin (Beecham Group PLC, UK). PCT Int. Appl. WO 9201696 A1 19920206, 147 pp. DESIGNATED STATES: W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1991-GB1228 19910722. PRIORITY: GB 1990-16189 19900724; GB 1991-9540 19910502.

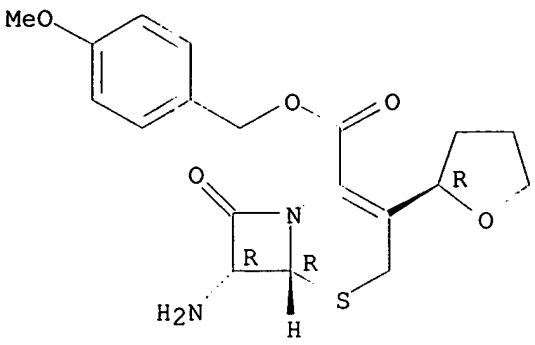
GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L8 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2002 ACS
RN 141061-23-6 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-8-oxo-3-(tetrahydro-2-furanyl)-, (4-methoxyphenyl)methyl ester, [6R-[3(R*),6.alpha.,7.beta.]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H22 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 1E01



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 116:255397 Preparation of 3-tetrahydrofurylcephem-3-carboxylates and analogs as antibiotics. Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin (Beecham Group PLC, UK). PCT Int. Appl. WO 9201696 A1 19920206, 147 pp. DESIGNATED STATES: W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1991-GB1228 19910722. PRIORITY: GB 1990-16189 19900724; GB 1991-9540 19910502.

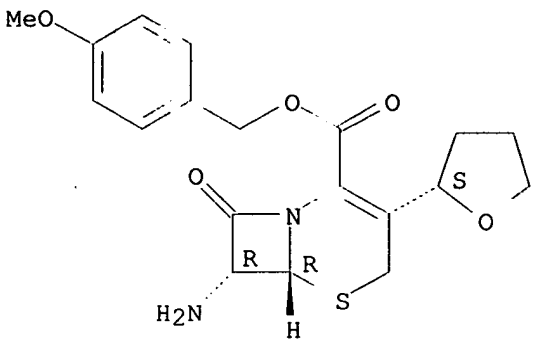
GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L8 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2002 ACS
RN 141061-22-5 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-8-oxo-3-(tetrahydro-2-furanyl)-, (4-methoxyphenyl)methyl ester, [6R-[3(S*),6.alpha.,7.beta.]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H22 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 1E01



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 116:255397 Preparation of 3-tetrahydrofurylcephem-3-carboxylates and analogs as antibiotics. Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin (Beecham Group PLC, UK). PCT Int. Appl. WO 9201696 A1 19920206, 147 pp. DESIGNATED STATES: W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1991-GB1228 19910722. PRIORITY: GB 1990-16189 19900724; GB 1991-9540 19910502.

GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

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ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):18
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FULL SUBSET SEARCH INITIATED 16:17:48
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SEARCH TIME: 00.00.01

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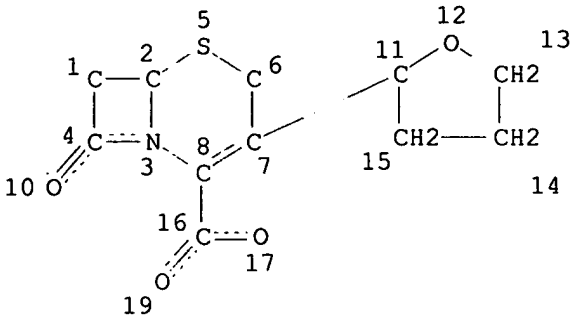
L9 SCR 2127
L11 STR

Searched by: Mary Hale 308-4258 CM-1 1E01



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

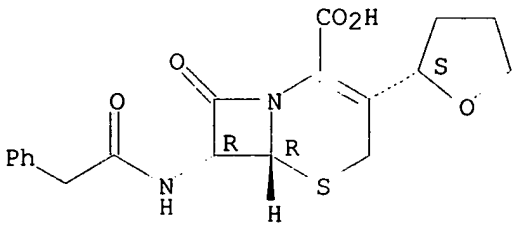
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
L13 13 SEA FILE=REGISTRY SSS FUL L11 AND L9

100.0% PROCESSED 53 ITERATIONS 13 ANSWERS
SEARCH TIME: 00.00.01

L13 ANSWER 1 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 395661-01-5 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 8-oxo-7-[(phenylacetyl)amino]-3-[(2S)-tetrahydro-2-furanyl]-, monosodium salt, (6R,7R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H20 N2 O5 S . Na
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



● Na

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 136:151036 Process for the preparation of cephalosporin

Searched by: Mary Hale 308-4258 CM-1 1E01

compounds and their intermediates. Burton, George; Best, Desmond John; Gasson, Brian Charles; Osborne, Neal Frederick; Walker, Graham (Pfizer Inc., USA). Eur. Pat. Appl. EP 1178049 A1 20020206, 22 pp. DESIGNATED STATES: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO. (English). CODEN: EPXXDW. APPLICATION: EP 2001-306325 20010723. PRIORITY: GB 2000-19124 20000803.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

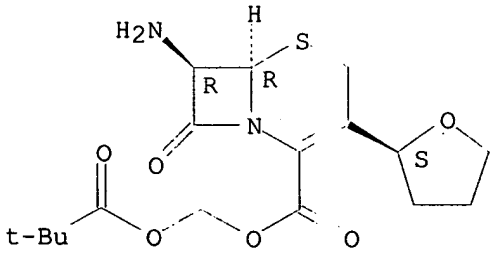
AB A process for prepg. cephalosporins I (R1 = H, OMe, formamido; R2 = acyl; CO2R3 = carboxy group or CO2- or readily removable carboxy protecting group; R4 = H, or up to four substituents from alkyl, alkenyl, alkynyl, alkoxy, halogen, amino, alkyl(acyl)amino, CO2R, CONR2, SO2NR2 (R = H, C1-6 alkyl), aryl, heterocycle, etc.; X = S, SO, SO2, O, CH2; m = 1-2; dotted lines indicate a 2- or 3-cephem system) was accomplished via the cyclization of II. Thus the 3-(R and S)-tetrahydrofuran-2-yl-2-em compds. III were prepd. and the S isomer was converted to the 3-(S)-tetrahydrofuran-2-yl-3-em III in several steps.

L13 ANSWER 2 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 179238-43-8 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-8-oxo-3-(tetrahydro-2-furanyl)-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [6R-[3(S*),6.alpha.,7.beta.]]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H24 N2 O6 S . C7 H8 O3 S
SR CA
LC STN Files: CA, CAPLUS

CM 1

CRN 141072-36-8
CMF C17 H24 N2 O6 S

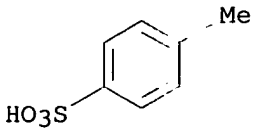
Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

Searched by: Mary Hale 308-4258 CM-1 1E01



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 125:114393 Process for the preparation of cephalosporins and analogs. Burton, George; Naylor, Antoinette (Pfizer Inc., USA). PCT Int. Appl. WO 9617847 A1 19960613, 29 pp. DESIGNATED STATES: W: JP, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1995-GB2783 19951129. PRIORITY: GB 1994-24847 19941209.

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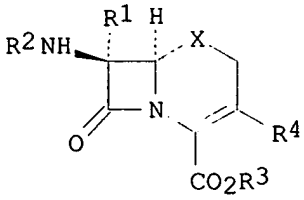


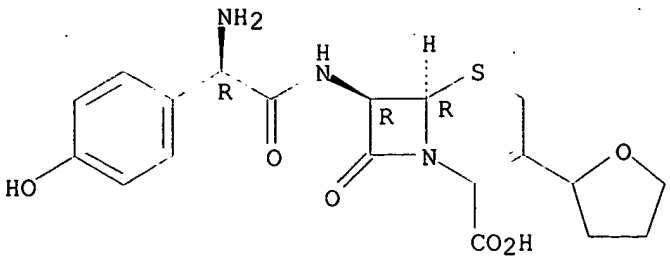
I

AB Cephalosporins I [X = S, SO, SO2, O, CH2; R1 = H, OMe, NHCHO; R2 = acyl; R3 = in vivo hydrolizable ester group; R4 = (un)substituted tetrahydrofuryl, tetrahydropyranyl] are prepd. by reaction of the corresponding carboxylic acid with R3Y [Y = halide] in the presence of an aq. phase contg. a base and a phase transfer catalyst. Subsequent removal of protecting groups, conversion of groups X and R2 and salt formation may be carried out. Thus, 4-methoxybenzyl (6R,7R)-7-phenylacetamido-3-[(S)-2-tetrahydrofuryl]cephem-4-carboxylate was treated with Me3CCO2CH2I, followed by deacylation and reacylation to give pivaloyloxymethyl (6R,7R)-7-[2-(2-amino-4-thiazolyl)-2-(Z)-methoxyiminoacetamido]-3-[(S)-2-tetrahydrofuryl]cephem-4-carboxylate.

L13 ANSWER 3 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 141195-79-1 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, monosodium salt, [6R-[6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H21 N3 O6 S . Na
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

Searched by: Mary Hale 308-4258 CM-1 1E01



● Na

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 116:255397 Preparation of 3-tetrahydrofurylcephem-3-carboxylates and analogs as antibiotics. Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin (Beecham Group PLC, UK). PCT Int. Appl. WO 9201696 A1 19920206, 147 pp. DESIGNATED STATES: W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1991-GB1228 19910722. PRIORITY: GB 1990-16189 19900724; GB 1991-9540 19910502.

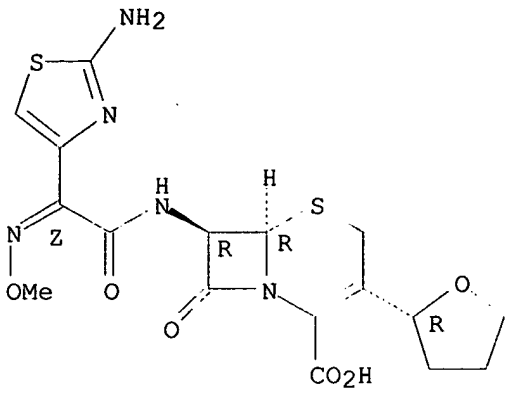
GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L13 ANSWER 4 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 141195-78-0 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, monosodium salt, [6R-[3(R*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H19 N5 O6 S2 . Na
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.

Searched by: Mary Hale 308-4258 CM-1 1E01

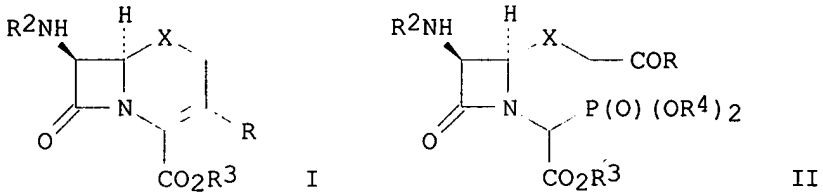


● Na

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:144046 Beta-lactam preparation. Harris, Michael Anthony; Saunders, Richard Neville (Pfizer Limited, UK). Brit. UK Pat. Appl. GB 2300856 A1 19961120, 15 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1995-10126 19950516.

GI



AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidinone II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

REFERENCE 2: 116:255397 Preparation of 3-tetrahydrofurylcephem-3-carboxylates and analogs as antibiotics. Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin (Beecham Group PLC, UK). PCT Int. Appl. WO 9201696 A1 19920206, 147 pp. DESIGNATED STATES: W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1991-GB1228 19910722. PRIORITY: GB 1990-16189 19900724; GB 1991-9540 19910502.

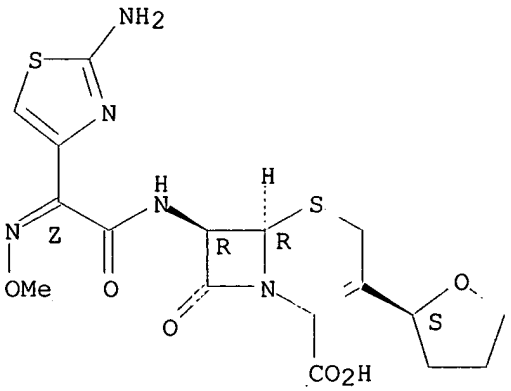
GI For diagram(s), see printed CA Issue.

Searched by: Mary Hale 308-4258 CM-1 1E01

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L13 ANSWER 5 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 141195-77-9 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-[(2S)-tetrahydro-2-furanyl]-, monosodium salt, (6R,7R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, monosodium salt, [6R-[3(S*),6.alpha.,7.beta.(Z)]]-
OTHER NAMES:
CN Cefovecin sodium
CN UK 287074-02
FS STEREOSEARCH
MF C17 H19 N5 O6 S2 . Na
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER
CRN (234096-34-5)

Absolute stereochemistry.
Double bond geometry as shown.

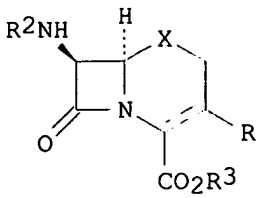


● Na

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:144046 Beta-lactam preparation. Harris, Michael Anthony; Saunders, Richard Neville (Pfizer Limited, UK). Brit. UK Pat. Appl. GB 2300856 A1 19961120, 15 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1995-10126 19950516.
GI

Searched by: Mary Hale 308-4258 CM-1 1E01



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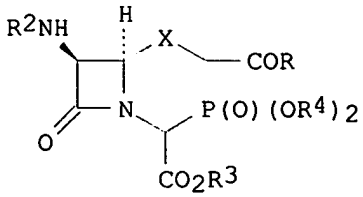


II

AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidinone II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

REFERENCE 2: 116:255397 Preparation of 3-tetrahydrofurylcephem-3-carboxylates and analogs as antibiotics. Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin (Beecham Group PLC, UK). PCT Int. Appl. WO 9201696 A1 19920206, 147 pp. DESIGNATED STATES: W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1991-GB1228 19910722. PRIORITY: GB 1990-16189 19900724; GB 1991-9540 19910502.

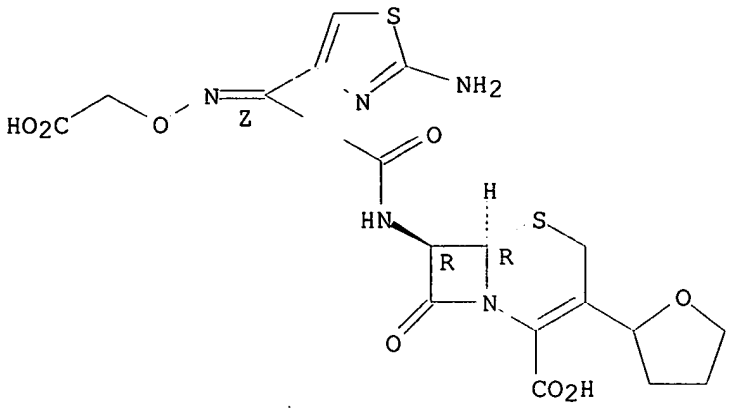
GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L13 ANSWER 6 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 141096-61-9 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, disodium salt, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 N5 O8 S2 . 2 Na
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.

Searched by: Mary Hale 308-4258 CM-1 1E01

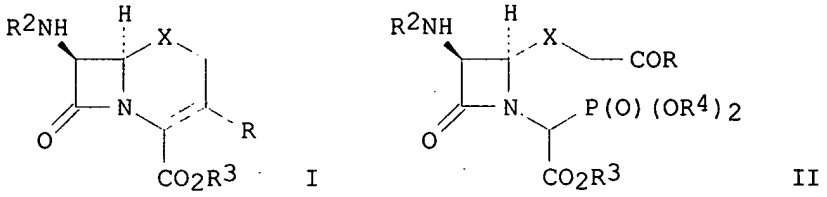


●2 Na

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:144046 Beta-lactam preparation. Harris, Michael Anthony; Saunders, Richard Neville (Pfizer Limited, UK). Brit. UK Pat. Appl. GB 2300856 A1 19961120, 15 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1995-10126 19950516.

GI



AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidinone II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

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GI For diagram(s), see printed CA Issue.

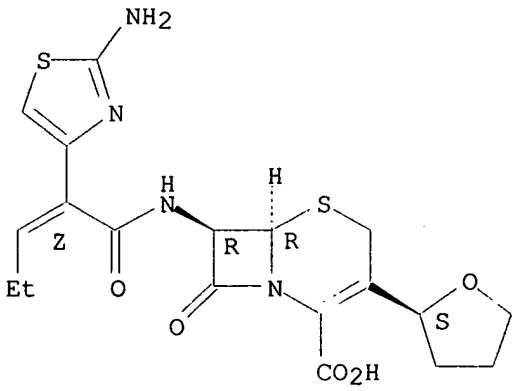
AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge,

Searched by: Mary Hale 308-4258 CM-1 1E01

carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L13 ANSWER 7 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 141096-60-8 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[2-(2-amino-4-thiazolyl)-1-oxo-2-pentenyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, monosodium salt, [6R-[3(S*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H22 N4 O5 S2 . Na
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.



● Na

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:144046 Beta-lactam preparation. Harris, Michael Anthony; Saunders, Richard Neville (Pfizer Limited, UK). Brit. UK Pat. Appl. GB 2300856 A1 19961120, 15 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1995-10126 19950516.
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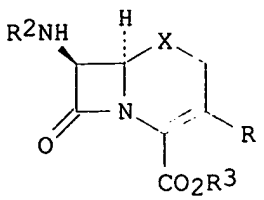
Searched by: Mary Hale 308-4258 CM-1 1E01



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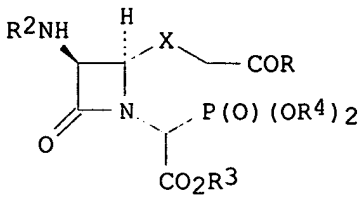

II

AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidinone II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

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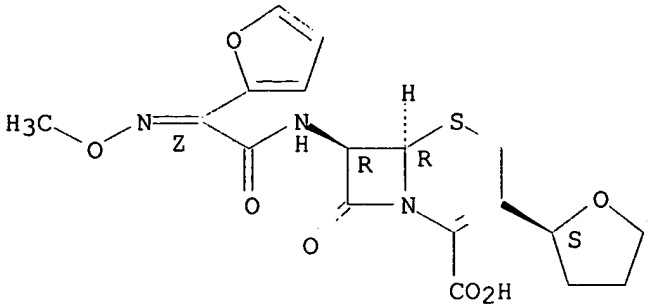
GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L13 ANSWER 8 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 141082-25-9 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[2-furanyl(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, monosodium salt, [6R-[3(S*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H19 N3 O7 S . Na
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.

Searched by: Mary Hale 308-4258 CM-1 1E01

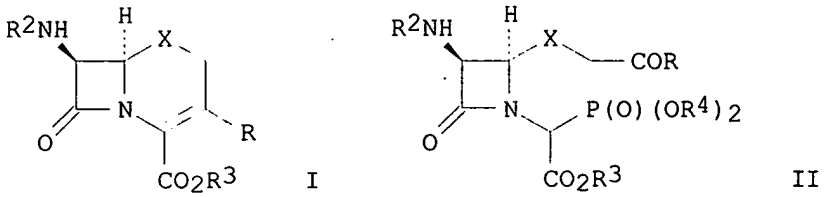


● Na

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:144046 Beta-lactam preparation. Harris, Michael Anthony; Saunders, Richard Neville (Pfizer Limited, UK). Brit. UK Pat. Appl. GB 2300856 A1 19961120, 15 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1995-10126 19950516.

GI



I II

AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidinone II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, .R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

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GI For diagram(s), see printed CA Issue.

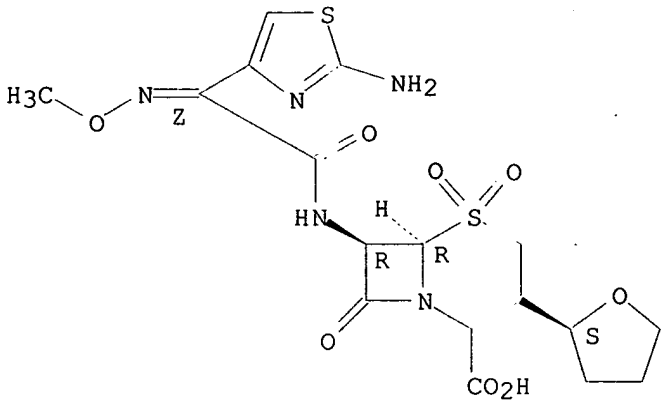
AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-

Searched by: Mary Hale 308-4258 CM-1 1E01

yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L13 ANSWER 9 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 141082-24-8 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, 5,5-dioxide, monosodium salt, [6R-[3(S*),6.alpha.,7.beta.(Z)]]-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H19 N5 O8 S2 . Na
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.

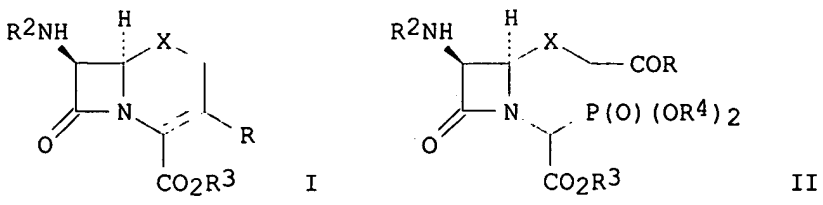


● Na

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:144046 Beta-lactam preparation. Harris, Michael Anthony; Saunders, Richard Neville (Pfizer Limited, UK). Brit. UK Pat. Appl. GB 2300856 A1 19961120, 15 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1995-10126 19950516.

GI



I II

Searched by: Mary Hale 308-4258 CM-1 1E01

AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidinone II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

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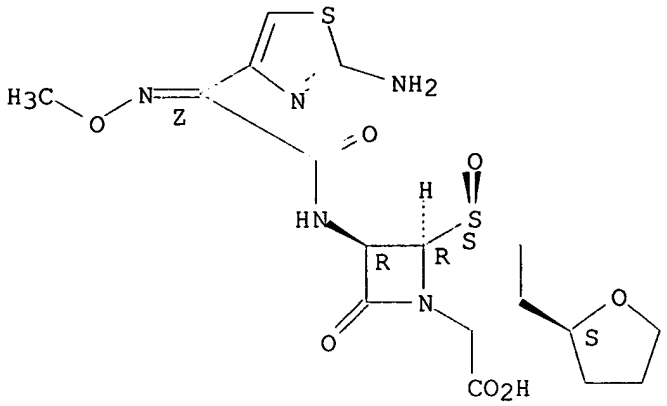
GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L13 ANSWER 10 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 141082-22-6 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, 5-oxide, monosodium salt, [5S-[3(R*),5.alpha.,6.beta.,7.alpha.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H19 N5 O7 S2 . Na
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.

Searched by: Mary Hale 308-4258 CM-1 1E01

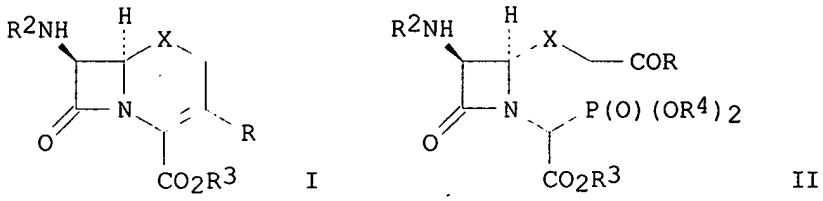


● Na

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:144046 Beta-lactam preparation. Harris, Michael Anthony; Saunders, Richard Neville (Pfizer Limited, UK). Brit. UK Pat. Appl. GB 2300856 A1 19961120, 15 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1995-10126 19950516.

GI



I II

AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidinone II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

REFERENCE 2: 116:255397 Preparation of 3-tetrahydrofurylcephem-3-carboxylates and analogs as antibiotics. Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin (Beecham Group PLC, UK). PCT Int. Appl. WO 9201696 A1 19920206, 147 pp. DESIGNATED STATES: W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1991-GB1228 19910722. PRIORITY: GB 1990-16189 19900724; GB 1991-9540 19910502.

GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge,

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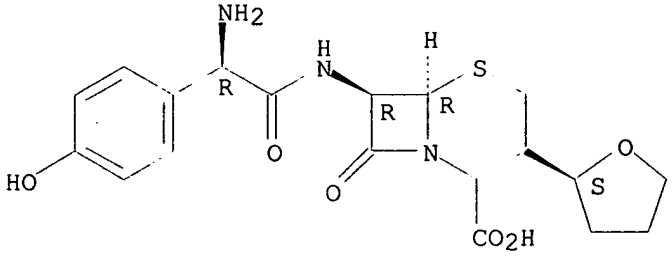
carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L13 ANSWER 11 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 141082-21-5 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, monosodium salt, [6R-[3(S*),6.alpha.,7.beta.(R*)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H21 N3 O6 S . Na
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



● Na

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:144046 Beta-lactam preparation. Harris, Michael Anthony; Saunders, Richard Neville (Pfizer Limited, UK). Brit. UK Pat. Appl. GB 2300856 A1 19961120, 15 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1995-10126 19950516.

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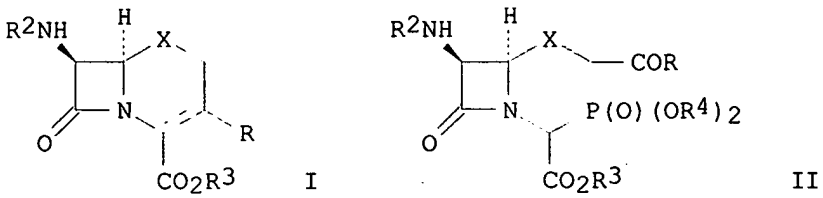

AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidinone II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-

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tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

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GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L13 ANSWER 12 OF 13 REGISTRY COPYRIGHT 2002 ACS

RN 141082-20-4 REGISTRY

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(5-amino-1,2,4-thiadiazol-3-yl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, monosodium salt, [6R-[3(S*),6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,2,4-Thiadiazole, 5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid deriv.

FS STEREOSEARCH

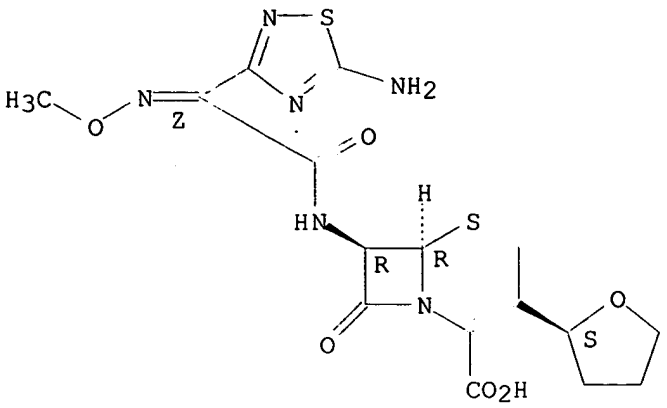
MF C16 H18 N6 O6 S2 . Na

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.

Searched by: Mary Hale 308-4258 CM-1 1E01



● Na

2 REFERENCES IN FILE CA (1967 TO DATE)
2 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:144046 Beta-lactam preparation. Harris, Michael Anthony; Saunders, Richard Neville (Pfizer Limited, UK). Brit. UK Pat. Appl. GB 2300856 A1 19961120, 15 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1995-10126 19950516.

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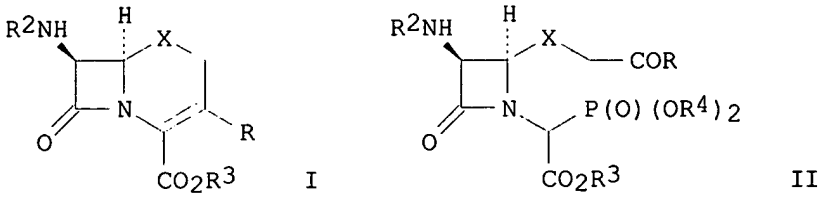

I II

AB Title compds. I [R = substituent; R1 = H, OMe, NHCHO; R2 = acyl; CO2R3 = CO2H, CO2-; R3 = protecting group; X = S, SO, SO2, O, CH2] are prepd. by base-induced cyclization of an azetidinone II [R4 = alkyl, aryl]. II are prepd. from the halide and P(OR4)3. Thus, 4-methoxybenzyl (2RS)-2-hydroxy-2-[(3R)(4R)-3-phenylacetamido-4-[(RS)-2-tetrahydrofuryl]carbonylmethylthio]azetidin-2-on-1-ylacetate was converted to the chloride and then to the phosphonate which was cyclized with NaH in PhMe to give 50% I [R = (RS)-2-tetrahydrofuryl, R1 = H, R2 = PhCH2CO, R3 = 4-MeC6H4CH2].

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GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge,

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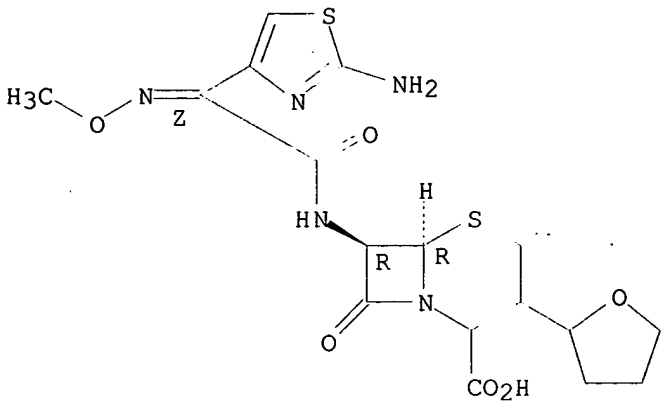
carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

L13 ANSWER 13 OF 13 REGISTRY COPYRIGHT 2002 ACS
RN 141082-16-8 REGISTRY
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-(tetrahydro-2-furanyl)-, monosodium salt, [6R-[6.alpha.,7.beta.(Z)]]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H19 N5 O6 S2 . Na
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.
Double bond geometry as shown.



3 REFERENCES IN FILE CA (1967 TO DATE)
3 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:144046 Beta-lactam preparation. Harris, Michael Anthony; Saunders, Richard Neville (Pfizer Limited, UK). Brit. UK Pat. Appl. GB 2300856 A1 19961120, 15 pp. (English). CODEN: BAXXDU. APPLICATION: GB 1995-10126 19950516.
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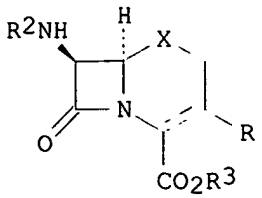
Searched by: Mary Hale 308-4258 CM-1 1E01



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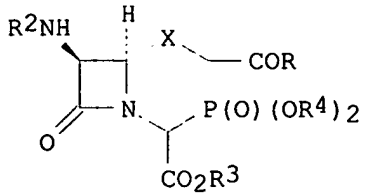

II

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REFERENCE 2: 121:35060 Novel C-3 cyclic ether cephalosporins and their orally absorbed prodrug esters. Bateson, John H.; Burton, George; Fell, Stephen C. M.; Smulders, Hazel C. (Dep. Med. Chem., SmithKline Beecham Pharm., Betchworth/Surrey, RH3 7AJ, UK). J. Antibiot., 47(2), 253-6 (English) 1994. CODEN: JANTAJ. ISSN: 0021-8820.

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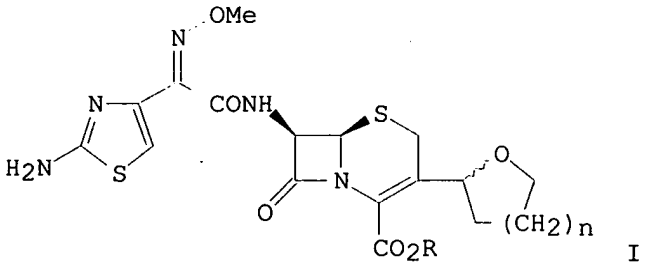

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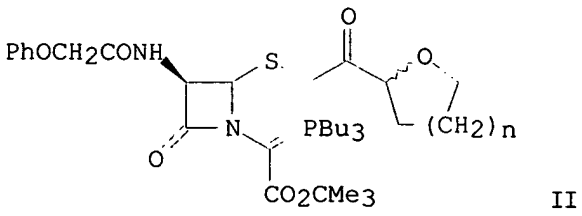

II

AB Cyclic ether cephalosporins I (R = Na, n = 1, 2) and their prodrug esters I (R = CH2OCOCMe3) were prepd. via Wittig cyclization of .beta.-lactam phosphoranes II. I (R = Na, n = 1, 2) were tested for bactericidal activity against several strains; I (R = Na, n = 1) was significantly more potent than I (R = Na, n = 2) and compared favorably with cefuroxime and cefetamet. The oral absorption of I (R = CH2OCOCMe3, n = 1, 2) was examd. in mice and compared with the .alpha.-acetoxyethyl ester of cefuroxime and the pivaloyloxymethyl ester of cefetamet.

REFERENCE 3: 116:255397 Preparation of 3-tetrahydrofurylcephem-3-

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carboxylates and analogs as antibiotics. Bateson, John Hargreaves; Burton, George; Fell, Stephen Christopher Martin (Beecham Group PLC, UK). PCT Int. Appl. WO 9201696 A1 19920206, 147 pp. DESIGNATED STATES: W: AU, CA, CS, FI, HU, JP, KR, NO, PL, US; RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE. (English). CODEN: PIXXD2. APPLICATION: WO 1991-GB1228 19910722. PRIORITY: GB 1990-16189 19900724; GB 1991-9540 19910502.

GI For diagram(s), see printed CA Issue.

AB Title compds. (I; R1 = H, MeO, HCONH; R2 = acyl; R3 = H, neg. charge, carboxy-protective group; R4 = .ltoreq.4 substituents selected from alkyl, alkenyl, OH, halo, alkoxy, etc.; X = O, CH2, SOn; n= 0-2; m = 1, 2) were prepd. Thus, Na 2-(2-tritylaminothiazol-4-yl)-2-(Z)-trityloxyiminoacetate was condensed with tert-butyl (6R, 7R)-7-amino-3-[(R)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylate to give, after deprotection, (6R, 7R)-7-[2-(2-aminothiazol-4-yl)-2-(Z)-hydroxyiminoacetamido]-3-[(RS)-tetrahydrofuran-2-yl]ceph-3-em-4-carboxylic acid which had MIC of 0.50 and 0.25 .mu.g/mL against Escherichia coli (NCTC 1048) and Staphylococcus aureus (Oxford), resp.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	529.63	529.84

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.44	-9.44

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.38	530.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.44

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Searched by: Mary Hale 308-4258 CM-1 1E01